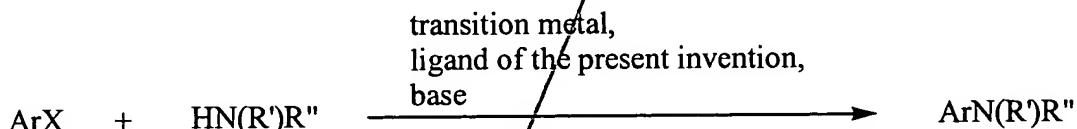


21. (amended) The method depicted in Scheme 1:



**Scheme 1**

wherein

*C1*

Ar is selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

X is selected from the group consisting of Cl, Br, I, -OS(O)<sub>2</sub>alkyl, and -OS(O)<sub>2</sub>aryl;

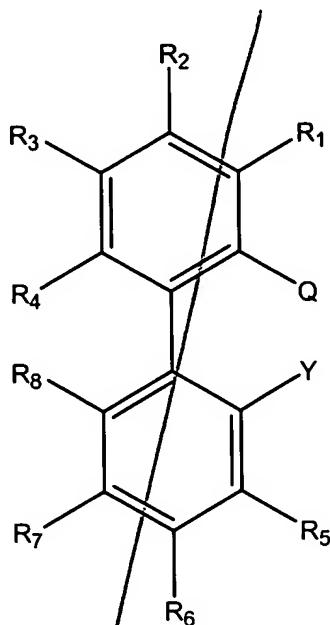
R' and R'' are selected, independently for each occurrence, from the group consisting of H, alkyl, heteroalkyl, aryl, heteroaryl, aralkyl, alkoxy, amino, trialkylsilyl, and triarylsilyl;

R' and R'', taken together, may form an optionally substituted ring consisting of 3-10 backbone atoms inclusive; said ring optionally comprising one or two heteroatoms beyond the nitrogen to which R' and R'' are bonded;

R' and/or R'' may be covalently linked to Ar;

the transition metal is selected from the group consisting of the Group VIIA metals;

the ligand is selected from the group consisting of a compound represented by 2:



wherein

Q represents  $P(R)_2$ ;

Y represents H, alkyl,  $N(R)_2$ , OR, or SR;

$R$ ,  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ , and  $R_8$ , independently for each occurrence represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, carboxamido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, formyl, acyl, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_m-R_{80}$ ;

$R_{80}$  represents independently for each occurrence an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

$m$  is independently for each occurrence an integer in the range 0 to 8 inclusive;

and

the ligand, when chiral, may be provided in the form of a mixture of enantiomers or as a single enantiomer; and

the base is selected from the group consisting of hydrides, carbonates, phosphates, alkoxides, amides, carbanions, and silyl anions.

22. (amended) The method of claim 21, wherein:

the transition metal is palladium; and

the base is an alkoxide, amide, phosphate, or carbonate.

23. (amended) The method of claim 21 or 22, wherein:

Y is hydrogen, and Q represents  $P(\text{alkyl})_2$ ; and

X represents Cl or Br.

24. (amended) The method of claim 21, wherein:

Q represents  $P(\text{alkyl})_2$ ; Y represents H or  $N(\text{alkyl})_2$ ;

the transition metal is palladium; and

the base is an alkoxide, amide, phosphate, or carbonate.

25. (amended) The method of claim 24, wherein:

X represents Cl or Br.

27. (amended) The method of claim 21, wherein: X represents Cl; Q represents  $P(t\text{-Bu})_2$  or  $PCy_2$ ; Y represents H or  $NMe_2$ ; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.

28. (amended) The method of claim 21, wherein: X represents Br or I; Q represents  $P(t\text{-Bu})_2$  or  $PCy_2$ ; Y represents H or  $NMe_2$ ; the transition metal is palladium; the base is an alkoxide, amide, phosphate, or carbonate; and the transformation occurs at room temperature.

29. (amended) The method of claim 21, wherein:  $R_1, R_2, R_3, R_4, R_5, R_6, R_7$ , and  $R_8$ , independently for each occurrence represent hydrogen; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.

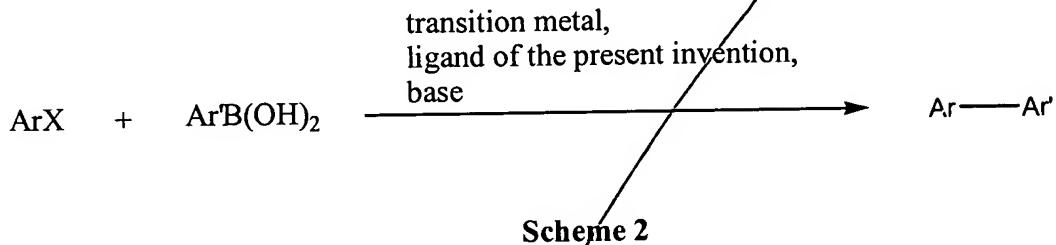
*C2*  
30. (amended) The method of claim 21, wherein: X represents Cl; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>8</sub>, independently for each occurrence represent hydrogen; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.

*Sub C2*  
32. (amended) The method of claim 21, wherein: the transition metal is palladium; and the base is an alkoxide or phosphate.

*Sub C3*  
33. (amended) The method of claim 21, wherein: X represents Cl; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>8</sub> represent hydrogen; Q represents P(t-Bu)<sub>2</sub> or PCy<sub>2</sub>; Y represents H or NMe<sub>2</sub>; the transition metal is palladium; and the base is an alkoxide or phosphate.

34. (amended) The method of claim 21, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>8</sub> represent hydrogen; Q represents P(t-Bu)<sub>2</sub> or PCy<sub>2</sub>; Y represents H or NMe<sub>2</sub>; the transition metal is palladium; and the base is sodium tert-butoxide or potassium phosphate.

41. (amended) The method depicted in Scheme 2:



wherein

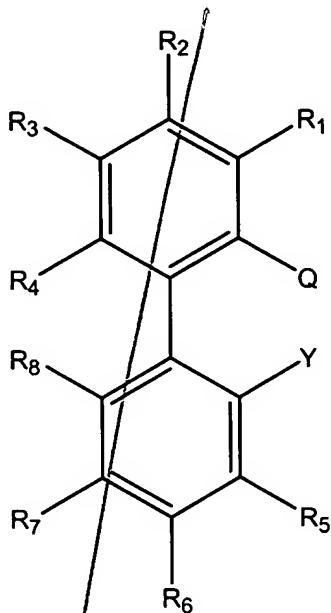
Ar and Ar' are independently selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

X is selected from the group consisting of Cl, Br, I, -OS(O)<sub>2</sub>alkyl, and -OS(O)<sub>2</sub>aryl;

Ar and Ar' may be covalently linked;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by 2:



2

wherein

*C4*  
Q represents  $P(R)_2$ ;

Y represents H, alkyl,  $N(R)_2$ , OR, or SR;

R,  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ , and  $R_8$ , independently for each occurrence represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, silyloxy, amino, nitro, sulphydryl, alkylthio, imino, carboxamido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, formyl, acyl, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_m-R_{80}$ ;

$R_{80}$  represents independently for each occurrence an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

*m* is independently for each occurrence an integer in the range 0 to 8 inclusive;  
and

the ligand, when chiral, may be provided in the form of a mixture of enantiomers or as a single enantiomer; and

the base is selected from the group consisting of carbonates, phosphates, fluorides, alkoxides, amides, carbanions, and silyl anions.

*Sub P3*

42. (amended) The method of claim 41, wherein  
the transition metal is palladium; and  
the base is an alkoxide, amide, fluoride, phosphate, or carbonate.

43. (amended) The method of claim 41 or 42, wherein  
Y is hydrogen, and Q represents  $P(\text{alkyl})_2$ ; and  
X represents Cl or Br.

*C4*

44. (amended) The method of claim 41, wherein:  
the transition metal is palladium;  
Q represents  $P(\text{alkyl})_2$ ; Y represents H or  $N(\text{alkyl})_2$ ; and  
the base is an alkoxide, amide, carbonate, phosphate, or fluoride.

45. (amended) The method of claim 44, wherein:  
X represents Cl or Br; and  
the reaction occurs at room temperature.

46. (amended) The method of claim 41, wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ , and  $R_8$  represent hydrogen; Q represents  $P(\text{t-Bu})_2$  or  $PCy_2$ ; Y represents H or  $NMe_2$ ; the transition metal is palladium; and the base is cesium fluoride or potassium fluoride.

*C5*

79. (amended) The method of claim 21 or 41, wherein X is chloride.

82. (amended) The method of claim 21 or 41, wherein the limiting reagent is consumed in less than 48 hours.

*C6*

83. (amended) The method of claim 21 or 41, wherein the limiting reagent is consumed in less than 24 hours.

84. (amended) The method of claim 21 or 41, wherein the limiting reagent is consumed in less than 12 hours.

85. (amended) The method of claim 21 or 41, wherein the yield of the product is greater than 50% in less than 48 hours.

86. (amended) The method of claim 21 or 41, wherein the yield of the product is greater than 50% in less than 24 hours.

87. (amended) The method of claim 21 or 41, wherein the yield of the product is greater than 50% in less than 12 hours